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A61K 9/00**A61K 47/00**(21) Application number: **60046170**(22) Date of filing: **08.03.86**(71) Applicant: **YAMANOUCHI PHARMACEUT CO LTD**(72) Inventor: **NOZAWA YASUO
HIGASHIDE FUKUJI
MIZUMOTO TAKAO****(54) RAPIDLY SOLUBILIZING PREPARATION FOR
HARD-SOLUBLE MEDICINES****(57) Abstract**

PURPOSE: The title preparation that is obtained by adding hard-to-dissolve active ingredients and compounds selected from polyvinyl pyrrolidone, polyvinyl alcohol and so on to water and forcibly mixing them, thus markedly increasing dissolution speed of the hard-to-dissolve ingredients to take large advantage in producing the preparation.

CONSTITUTION: Water or organic solvents are added to hard-to-dissolve medicines such as phenacetin or other anti-inflammatory, at least one selected from polyvinyl pyrrolidone, polyvinyl alcohol, methylcellulose, macrogol, hydroxyethylcellulose, hydroxypropylcellulose, gelatin and lactic acid and they are forcibly mixed with a roller mixer, as the solvent is vaporized off or the hard-to-dissolve medicine, and polyvinyl pyrrolidone and macrogol are forcibly kneaded. The organic solvent is most preferably ethanol.

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Partial Translation

JP Patent Application Disclosure No. 61-205208; September 11, 1986

Title of the invention: Rapid release preparation of a hardly soluble drug

JP Patent Application No. 60-46179; March 8, 1985

Inventors: Nozawa Yasuo; Higashide Fukuji; Mizumoto Takao.

Applicant: Yamanouchi Pharmaceutical Co., Ltd. (Tokyo, Japan)

Claims:

- (1) Rapid release preparation of a hardly soluble drug prepared by either
 - (a) kneading a hardly soluble drug, and one or at least two chosen from the group consisting of polyvinyl pyrrolidone, polyvinyl alcohol, methyl cellulose, Macrogol (trade name of polyethylene glycol, manufactured by Sanyo Chemical Industries, Ltd.), hydroxyethyl cellulose, hydroxypropyl cellulose, carboxymethyl cellulose, gum arabic, dextrin, gelatin, pectin and milk sugar by means of a roll mill while incorporating water or an organic solvent into the mixture, and volatilising the solvent; or
 - (b) kneading a hardly soluble drug, polyvinyl pyrrolidone and Macrogol by means of a roll mill.
- (2) Rapid release preparation of a hardly soluble drug according to Claim 1, wherein the hardly soluble drug is nifedipine, the nifedipine content is at least ca. 10 %, and the dissolution rate is at least 18.7 mg/L-min.

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(3) Rapid release preparation of a hardly soluble drug according to Claim 1, wherein the hardly soluble drug is griseofulvin, the griseofulvin content is at most 92 %, and the dissolution rate is at least 9 mg/L·min.

(4) Processes for preparing a rapid release preparation of a hardly soluble drug, characterised by

either

(a) kneading a hardly soluble drug, and one or at least two chosen from the group consisting of polyvinyl pyrrolidone, polyvinyl alcohol, methyl cellulose, Macrogol, hydroxyethyl cellulose, hydroxypropyl cellulose, carboxymethyl cellulose, gum arabic, dextrin, gelatin, pectin and milk sugar by means of a roll mill while incorporating water or an organic solvent into the mixture, and volatilising the solvent; or

(b) kneading a hardly soluble drug, polyvinyl pyrrolidone and Macrogol by means of a roll mill.

Detailed explanation of the invention:

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(Incorporation of solvent)

[Page 3, lower right column, lines 2 to 9]

In the semi-wet type roll milling a solvent is added to a roll mill during the kneading. The solvent is naturally volatilised during the kneading, and finally the mixture is kneaded to a solid state. It is estimated that the rapid releasability of the preparation prepared by the semi-wet type roll milling

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results from the drug being appropriately dispersed in the base because the solvent dissolves partially one or the both of the hardly soluble drug and the base, and because of the melting due to the compaction due to compulsory kneading. [Translator's note: the original Japanese sentence is incomplete and does not make sense.]